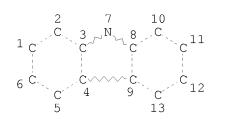
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NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 1 22 16 NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

=> s l1 ful FULL SEARCH INITIATED 17:03:56 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4760 TO ITERATE

100.0% PROCESSED 4760 ITERATIONS 53 ANSWERS SEARCH TIME: 00.00.01

53 SEA SSS FUL L1 T.3

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 188.28 188.50

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FILE COVERS 1907 - 3 Dec 2009 VOL 151 ISS 23 FILE LAST UPDATED: 2 Dec 2009 (20091202/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 136 L3 L4

=> d bib abs 1-6

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN T.4

2009:1230178 CAPLUS ΑN

151:448246 DN

New carbazole derivatives, especially 9-substituted ΤТ 4-heteroary1-9H-carbazoles, compositions containing them and their use as HSP90 inhibitors for treating cancer

ΙN Alasia, Marcel; Bertin, Luc; Cerval, Victor; Halley, Frank; Mailliet, Patrick; Mendez Perez, Maria; Minoux, Herve; Ruxer, Jean-Marie

PASanofi-Aventis, Fr.

PCT Int. Appl., 321pp. SO CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 2

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PATENT NO.
                       KIND
                                          APPLICATION NO.
                               DATE
                                                                  DATE
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    WO 2009122034
                        A2
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                                                                  20090313
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     FR 2928645
                         A1
                               20090918
                                          FR 2008-1394
                                                                  20080314
PRAI FR 2008-1394
                         Α
                               20080314
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MARPAT 151:448246 OS

GΙ

Het
$$N-R$$

AB The invention is related to the preparation of carbazoles I [Het = (un)substituted aromatic or partially unsatd. (dihydro or tetrahydro) mono or bicyclic 5-11 membered heterocycle containing 1-4 heteroatoms selected from N, O or S; R = 3-amino-4-(aminocarbonyl)phenyl, 3-amino-1H-indazol-6-yl, 3-amino-1,2-benzoxazol-6-yl, etc.], and their tautomers and stereoisomers, and their mineral and organic acid and base addition salts, and their prodrugs, and to their use as inhibitors of the activity of the protein chaperone Hsp90, and more particularly their use as inhibitors of the catalytic ATPase activity of Hsp90 for treating cancer and other proliferative disorders. Thus, reacting Me 9H-carbazole-4-carboxylate (preparation given) with 2-bromo-4-fluorobenzonitrile, followed by amination of the bromide with trans-4-aminocyclohexanol, saponification of the Me ester, amidation of

ΙI

the

acid with 4-fluoro-o-phenylenediamine, cyclization in the presence of AcOH at reflux and conversion of the nitrile to amide with an aqueous solution of H2O2

gave II. Selected I had IC50 in the range of 1 μM to 10 μM for the inhibition of Hsp82 ATPase activity.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:548314 CAPLUS

DN 148:538082

TI Preparation of phenylamino-substituted piperidine compounds as NPY5 receptor regulators

IN Garcia-Lopez, Monica; Mas-Prio, Josep; Torrens-Jover, Antonio

PA Laboratorios Del Dr. Esteve S.A., Spain

SO PCT Int. Appl., 90pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PRAI EP 2006-384017
                                20061102
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     WO 2007-EP9465
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                                20071031
OS
     MARPAT 148:538082
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. I [X, Y = H, halo, nitro, etc.; R1-R3 = H, halo, aliphatic AΒ radical, etc.; R5 = H, aliphatic radical or -A-CO-NR10R11; R6-R9 = H, aliphatic radical, cyano, etc.; A = -CHR18 or -CHR18-CH2-; R10 = H or aliphatic radical; R11 = aliphatic radical, cycloaliph. radical, aryl radical, etc.; R18 = H or aliphatic radical] or stereoisomers (preferably enantiomers or diastereomers), racemates, mixts. of at least two of stereoisomers (preferably enantiomers or diastereomers, in any mixing ratio), salts (preferably physiol. acceptable salts), or solvates thereof were prepared Thus, a multi-step synthesis of compound II [R = OH; Z = -CO-], starting from 3-aminofluoren-9-one, was given. In Neuropeptide Y5 (NPY5) binding assays, the IC50 value of compound II [R = H; Z = -N(Et)-] (III) was 23.7 nM. Compds. I are claimed useful for the treatment of obesity, anorexia, etc. Pharmaceutical composition comprising compound III is disclosed. RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2005:636147 CAPLUS ΑN
- 143:205792 DN
- A preliminary study of the metabolic stability of a series of ΤI benzoxazinone derivatives as potent neuropeptide Y5 antagonists
- ΑU Dordal, Alberto; Lipkin, Mike; Macritchie, Jackie; Mas, Josep; Port, Adriana; Rose, Sally; Salgado, Leonardo; Savic, Vladimir; Schmidt, Wolfgang; Serafini, Maria Teresa; Spearing, William; Torrens, Antoni; Yeste, Sandra
- BioFocus Discovery Limited, Saffron Walden, CB10 1XL, UK CS
- SO Bioorganic & Medicinal Chemistry Letters (2005), 15(16), 3679-3684 CODEN: BMCLE8; ISSN: 0960-894X
- ΡВ Elsevier B.V.
- DT Journal
- LA English
- AΒ The metabolic stability of benzoxazinone derivs., a potent series of NPY

Y5 antagonists, has been investigated. This study resulted in the identification of the structural moieties prone to metabolic transformations and which strongly influenced the in vitro half-life. This provides opportunities to optimize the structure of this new class of NPY Y5 antagonists.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:136598 CAPLUS
- DN 142:240323
- TI Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
- IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
- PA Laboratorios del Esteve S. A., Spain
- SO PCT Int. Appl., 427 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

						KIND DATE														
ΡI														20040729						
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						A1 20050401 ES 2003-1815								20030730						
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		AU 2004262488						2005	-					20040729						
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		EP 1660131									EP 2	004-		20040729						
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		R:						ES,										PT,		
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PKAI	_	2003	_) [14		A		2003	0730											
	WO	∠∪∪4.	-EP8	514		W	W 20040729													

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:240323; MARPAT 142:240323

GΙ

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

```
The present invention relates to an active substance combination
AΒ
     comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H,
     alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl,
     A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H,
     alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl,
     etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated
     cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably
     neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6
     receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5,
     (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or
     NR4R5 = (un) saturated heterocyclyl; A = (un) substituted (hetero) aryl; n =
     0-4]), a medicament comprising said active substance combination, and the
     use of said active substance combination for the manufacture of a medicament.
     Synthesis of amides I and sulfonamides such as II is described in
     examples. E.g., a multi-step synthesis of III.HCl, starting from
     1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given.
     The amides I and sulfonamides such as II were tested against neuropeptide
     Y5 and 5-HT6 binding (data given for representative compds.).
OSC.G
              THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 4
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
     2005:136561 CAPLUS
ΑN
     142:240311
DN
     Preparation of N-carbazolyl [(phenylamino)piperidinyl]acetamide
ΤI
     derivatives as neuropeptide y5 ligands for the treatment of obesity
ΙN
     Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Fisas
     Escasany, Maria Angeles; Buschmann, Helmut Heinrich
     Laboratorios del Esteve S. A., Spain
PA
SO
     PCT Int. Appl., 48 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 3
                                          APPLICATION NO.
                                                                 DATE
     PATENT NO.
                       KIND DATE
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                                          _____
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                        A1 20050217 WO 2004-EP8517
     WO 2005013990
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     BR 2004012860
                        Α
                               20061003
                                            BR 2004-12860
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                        T 20070111 JP 2006-521533
A 20070810 IN 2006-DN191
A 20060515 MX 2006-1226
     JP 2007500169
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20060110 20060130

NO 20	06000605	A	20060207	NO	2006-605		20060207
US 20	070105853	A1	20070510	US	2006-566399		20060926
PRAI ES 20	003-1813	A	20030730				
WO 20	004-EP8517	W	20040729				
ASSIGNMENT	HISTORY FOR US	PATENI	: AVAILABLE	IN I	LSUS DISPLAY	FORMAT	
OS CASRE	EACT 142:240311;	MARPAI	142:240311				
GI							

$$(R^{70})_{p}$$
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{2}
 R^{2}
 R^{3}
 R^{3}
 R^{2}
 R^{3}
 R^{3}

AB Title compds. represented by the formula I [wherein m=0-4; n=0-3; p=0-4; R1-R4= independently H, halo, OR8, etc.; R5= H, (cyclo)aliphatic radical; R6-R8= independently H or prodrug-moiety; and physiol. acceptable salts or solvates thereof] were prepared as neuropeptide Y5 (NPY5) ligands (no data). For example, I (R1= OH, R2-R4= H, R5= Me, m=1, n=p=0) was given in a multi-step synthesis starting from the reaction of 3-amino-9-methyl-9H-carbazole with chloroacetyl chloride. Thus, the title compds. are useful as NPY5 ligands in the treatment of obesity for humans or animals.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:136559 CAPLUS

DN 142:240321

- TI Preparation of (phenylamino)piperidinylacetamides and related compounds as neuropeptide Y5 (NPY5) ligands for the treatment of obesity.
- IN Torrens Jover, Antoni; Mas Prio, Josep; Fisas Escasany, Maria Angeles
- PA Laboratorios del Esteve S.A., Spain
- SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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	EΡ	2004	-763	808		A	2	2004	0729												
	WO	2004	-EP8	508		W	2	2004	0729												

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:240321; MARPAT 142:240321

AΒ Title compds. [I; R1-R4 = H, halo, NO2, cyano, (substituted) (unsatd.) aliphatyl, (hetero)cycloaliphatyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (unsatd.) aliphatyl, cycloaliphatyl; R6-R9 = H, cyano, (substituted) (unsatd.) aliphatyl, (hetero)cycloaliphatyl, etc.; A = CHR18, CHR18CH2; B = (substituted) (unsatd.) aliphatyl, cycloaliphatyl, etc.; R10 = H, (substituted) (unsatd.) aliphatyl, (hetero)cycloaliphatyl, aryl, heteroaryl, etc.; R11 = (substituted) (unsatd.) aliphatyl, (hetero)cycloaliphatyl, aryl, heteroaryl, etc.; R10R11N = (substituted) (aromatic) heterocyclyl; R18 = H, (substituted) (unsatd.) aliphatyl, (hetero)cycloaliphatyl, aryl, heteroaryl, etc.], were prepared Thus, 1-(4-methyl-2-hydroxymethylphenylamino)piperidine dihydrochloride, 2-chloro-N-phenylacetamide, and K2CO3 were stirred together overnight in DMF to give 63% 4-[2-(2-hydroxymethyl-4-methylphenylamino)piperidin-1-yl]-N-phenylacetamide. Tested I showed NPY5 binding with IC50 = 40.1-80.9 nM. I are useful for the regulation of disorders of food ingestion, such as obesity, anorexia, cachexia, bulimia or type II diabetes, for the prophylaxis and/or treatment of disorders of the peripheral nervous system, disorders of the central nervous system, anxiety, depression, cognitive disorders, preferably memory disorders, cardiovascular diseases, pain, epilepsy, arthritis, hypertensive syndrome, inflammatory diseases, immune diseases and other NPY5 mediated disorders.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

IT 844642-92-8P 844642-93-9P 844642-95-1P

845554-07-6P 845554-08-7P 845554-09-8P

845554-10-1P 845554-11-2P 845554-12-3P

845554-13-4P 845554-14-5P 845554-15-6P

845554-16-7P 845554-17-8P 845554-35-0P

845554-85-0P 845554-98-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of phenylaminopiperidinylacetamides and related $% \left(1\right) =\left(1\right) +\left(1\right) +\left($

compds. as neuropeptide Y5 ligands for the treatment of obesity)

RN 844642-92-8 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[3-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 844642-93-9 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[4-hydroxy-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 844642-95-1 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-hydroxy-6-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845554-07-6 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845554-08-7 CAPLUS

CN 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-09-8 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-4-methylphenyl]amino]- (CA INDEX NAME)

RN 845554-10-1 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[4-fluoro-2-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)

RN 845554-11-2 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-6-methoxyphenyl]amino]- (CA INDEX NAME)

RN 845554-12-3 CAPLUS

CN 1-Piperidineacetamide, 4-[[4,5-difluoro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-13-4 CAPLUS

CN 1-Piperidineacetamide, 4-[[3-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-14-5 CAPLUS

CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)phenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-15-6 CAPLUS

CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-16-7 CAPLUS

CN 1-Piperidineacetamide, 4-[[4-chloro-2-(hydroxymethyl)phenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-17-8 CAPLUS

CN 1-Piperidineacetamide, 4-[[2-(hydroxymethyl)-4-methylphenyl]amino]-N-(9-methyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-35-0 CAPLUS

CN 1-Piperidineacetamide, 4-[[4-bromo-2-(hydroxymethyl)phenyl]amino]-N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

RN 845554-85-0 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-3-methoxyphenyl]amino]- (CA INDEX NAME)

RN 845554-98-5 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]- (CA INDEX NAME)

IT 845525-15-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylaminopiperidinylacetamides and related compds. as neuropeptide Y5 ligands for the treatment of obesity)

RN 845525-15-7 CAPLUS

CN 1-Piperidineacetamide, N-(9-ethyl-9H-carbazol-3-yl)-4-[[2-(hydroxymethyl)-6-methylphenyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1